## (19) World Intellectual Property Organization International Bureau



(43) International Publication Date 16 October 2003 (16.10.2003)

**PCT** 

(10) International Publication Number WO 03/084965 A1

- (51) International Patent Classification<sup>7</sup>: C07D 498/08, A61K 31/395, A61P 31/04, 31/06 // (C07D 498/08, 307:00, 267:00
- (21) International Application Number: PCT/EP03/03751
- (22) International Filing Date: 10 April 2003 (10.04.2003)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 102 16 719.2

10 April 2002 (10.04.2002) DE

- (71) Applicants (for all designated States except US):

  UFZ UMWELTFORSCHUNGS ZENTRUM

  LEIPZIG-HALLE GMBH [DE/DE]; Permoserstr.

  15, 04318 Leipzig (DE). UNIVERSITY OF LEICES
  TER [GB/GB]; University Road, Leicester, Lancashire

  LE 1 7 RH (GB). BALKANPHARMA-RAZGRAD CO.

  [BG/BG]; Aprilsko Vastanie Blvd. 68, 7200 Razgrad

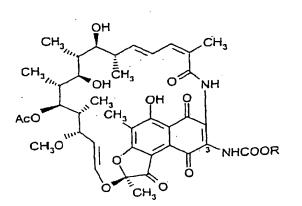
  (BG).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): SCHŪŪRMANN, Gerrit [DE/DE]; Fliederweg 3a, 04683 Threna (DE). KŪHNE, Ralph [DE/DE]; Körnerstr. 10, 06114 Halle (DE). MEKENYAN, Ovanes [BG/BG]; "L.Karavelov" St #57, ap. 13, 8000 Bourgas (BG). NEDEYALKOVA, Zoya, Andonova [BG/BG]; k-s Slavejkov, Block 59, Vh. 1, et. 10, ap. 30, 8008 Bourgas (BG). ANDREW, Peter, William [GB/GB]; 7 Chapel Lane, Leicester, Leicestershire LE2, 3Wf (GB). SHAFI, Jamilia [GB/GB]; 51 Buxton Street, Leicester, Leicestershire LE2, OFL (GB). SHARPE, Jason, Anthony [GB/GB]; 63 Wilne Road, Oldsawley, Long Eaton, Nottinghamshire NG10, 3AP (GB). DIMOV, Dimcho, Ivanov [BG/BG]; 35 "Kniaz

Boris" Str., apt. 10, 7200 Razgrad (BG). ANGELOVA, Nely, Tzoneva [BG/BG]; 19A "Benkovsky" Str., apt. 1, 7200 Razgrad (BG). GROZDANOV, Asenov [BG/BG]; 36 "Svety Kliment" Str., apt. 23, 7200 Razgrad (BG). PENEV, Pavel, Nenov [BG/BG]; compl. "Ludogorie", bl. 1, ent. A. apt. 1, 7200 Razgrad (BG). HALADGOVA, Svetlana, Tzvetkova [BG/BG]; compl. "Ludogorie", bl. 3, apt. 52, 7200 Razgrad (BG). MINCHEVA, Desislava, Todorova [BG/BG]; 2 "Trapezitza" Str., ent. A. apt. 9, 7200 Razgrad (BG). PARASKEVOVA, Stevka, Tzvetkova [BG/BG]; 8 "Kresna" Str., 7200 Razgrad (BG). DEKIN, Sashko, Ivanov [BG/BG]; 106 "Svety Kliment" Str., ent. G, apt. 23, 7200 Razgrad (BG). ATANASOVA, Iskra, Todorova [BG/BG]; 25 "Dobrovska" Str., 7200 Razgrad (BG).

- (74) Agents: ZIEBIG, Marlene, K. et al.: PATEN-TANWÄLTE GULDE HENGELHAUPT ZIEBIG, SCHÜTZENSTRASSE 15 - 17, 10117 BERLIN (DE).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ! VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

[Continued on next page]

(54) Title: N-(3-RIFAMYCINYL)-CARBAMATES, METHOD OF PREPARING THEM AND THEIR USE FOR TREATING AND PREVENTING TUBERCULOSIS



(57) Abstract: The present invention relates to novel N-(3-rifamycinyl)-derivatives, namely N-(3-rifamycinyl)-carbamates, methods of their preparation and their use for the production of pharmaceutical preparations. The invention also concerns a composition and a method for treating or preventing mycobacterial infections, especially tuberculosis. Formula (I);

WO 03/084965 A1